

TRANSLATION

## PATENT COOPERATION TREATY

## PCT

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>PCT-0506</b>	FOR FURTHER ACTION	See Form PCT/IPEA/416
International application No. <b>PCT/JP2005/004379</b>	International filing date ( <i>day/month/year</i> ) <b>07.03.2005</b>	Priority date ( <i>day/month/year</i> ) <b>05.03.2004</b>
International Patent Classification (IPC) or national classification and IPC <b>C07D249/06, A61K31/4192, A61K31/427, A61K31/436, A61K31/437, A61K31/4375</b>		
Applicant <b>BANYU PHARMACEUTICAL CO., LTD</b>		

<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of <u>5</u> sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> (<i>sent to the applicant and to the International Bureau</i>) a total of _____ sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (<i>sent to the International Bureau only</i>) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>																										
<p>4. This report contains indications relating to the following items:</p> <table><tr><td><input checked="" type="checkbox"/></td><td>Box No. I</td><td>Basis of the report</td></tr><tr><td><input type="checkbox"/></td><td>Box No. II</td><td>Priority</td></tr><tr><td><input type="checkbox"/></td><td>Box No. III</td><td>Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</td></tr><tr><td><input type="checkbox"/></td><td>Box No. IV</td><td>Lack of unity of invention</td></tr><tr><td><input checked="" type="checkbox"/></td><td>Box No. V</td><td>Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</td></tr><tr><td><input type="checkbox"/></td><td>Box No. VI</td><td>Certain documents cited</td></tr><tr><td><input type="checkbox"/></td><td>Box No. VII</td><td>Certain defects in the international application</td></tr><tr><td><input checked="" type="checkbox"/></td><td>Box No. VIII</td><td>Certain observations on the international application</td></tr></table>			<input checked="" type="checkbox"/>	Box No. I	Basis of the report	<input type="checkbox"/>	Box No. II	Priority	<input type="checkbox"/>	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability	<input type="checkbox"/>	Box No. IV	Lack of unity of invention	<input checked="" type="checkbox"/>	Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement	<input type="checkbox"/>	Box No. VI	Certain documents cited	<input type="checkbox"/>	Box No. VII	Certain defects in the international application	<input checked="" type="checkbox"/>	Box No. VIII	Certain observations on the international application
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Date of submission of the demand		Date of completion of this report																								
Name and mailing address of the IPEA/JP		Authorized officer																								
Facsimile No.		Telephone No.																								

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/004379

Box No. I

Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following \_\_\_\_\_, which is the language of a translation furnished for the purposes of:
- ☐ international search (Rule 12.3 and 23.1(b))
- ☐ publication of the international application (Rule 12.4)
- ☐ international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the **elements** of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):
- ☒ the international application as originally filed/furnished
- ☐ the description:
- pages \_\_\_\_\_ as originally filed/furnished
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the claims:
- nos. \_\_\_\_\_ as originally filed/furnished
- nos.\* \_\_\_\_\_ as amended (together with any statement) under Article 19
- nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the drawings:
- sheets \_\_\_\_\_ as originally filed/furnished
- sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

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Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement																					
1. Statement	<table><tr><td rowspan="2">Novelty (N)</td><td>Claims</td><td>1-22</td><td>YES</td></tr><tr><td>Claims</td><td></td><td>NO</td></tr><tr><td rowspan="2">Inventive step (IS)</td><td>Claims</td><td>1-22</td><td>YES</td></tr><tr><td>Claims</td><td></td><td>NO</td></tr><tr><td rowspan="2">Industrial applicability (IA)</td><td>Claims</td><td>1-22</td><td>YES</td></tr><tr><td>Claims</td><td></td><td>NO</td></tr></table>	Novelty (N)	Claims	1-22	YES	Claims		NO	Inventive step (IS)	Claims	1-22	YES	Claims		NO	Industrial applicability (IA)	Claims	1-22	YES	Claims		NO
Novelty (N)	Claims		1-22	YES																		
	Claims		NO																			
Inventive step (IS)	Claims	1-22	YES																			
	Claims		NO																			
Industrial applicability (IA)	Claims	1-22	YES																			
	Claims		NO																			
2. Citations and explanations (Rule 70.7)	<p>Document 1: WO 2003/051315 A2 (Merck &amp; Co., Inc.), 26 June 2003</p> <p>Document 2: JP 2004-504308 A (F. Hoffman-La Roche AG), 12 February 2004</p> <p>Document 3: JP 2003-146990 A (Yamanouchi Pharmaceutical Co., Ltd.), 21 May 2003</p> <p>Claims 1 to 22</p> <p>The invention set forth in claims 1 to 22 is novel and involves an inventive step in relation to documents 1 to 3 cited in the international search report.</p> <p>Document 1 indicates that 1,2,3-triazole compounds having aryl groups substituted in the 4<sup>th</sup> and 5<sup>th</sup> positions exhibit mGluR5 inhibiting activity.</p> <p>Document 2 indicates that 2H-tetrazole-5-yl-amide derivatives represented by general formula (I) are mGluR agonists.</p> <p>Document 3 indicates that imidazothiazole derivatives represented by general formula (I) are active against mGluR1.</p> <p>However, documents 1 to 3 do not disclose the compounds set forth in claims 1 to 22 of this application, or indicate that said compounds exhibit</p>																					

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Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
<p>mGluR1 inhibitory activity, and are useful as agents for the treatment and/or prevention of schizophrenia, and said feature would not be obvious to a person skilled in the art.</p>	

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Box No. VIII Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

Claims 1 to 9, 21 and 22 include an extremely large number of compounds, but only a very small part of these claimed compounds are disclosed within the meaning of PCT Article 5, and these claims are not fully supported by the description within the meaning of PCT Article 6.